EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
S1	1	"6596900".pn.	US-PGPUB; USPAT; EPO	OR	ON	2006/08/25 06:11

Welcome to STN International! Enter x:x

LOGINID:ssptamxg1614

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1
                Web Page URLs for STN Seminar Schedule - N. America
NEWS
                "Ask CAS" for self-help around the clock
NEWS 3 FEB 27
                New STN AnaVist pricing effective March 1, 2006
NEWS 4 APR 04 STN AnaVist $500 visualization usage credit offered
NEWS 5 MAY 10 CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 6 MAY 11 KOREAPAT updates resume
NEWS 7 MAY 19 Derwent World Patents Index to be reloaded and enhanced
NEWS 8 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAplus and
                USPATFULL/USPAT2
NEWS 9 MAY 30
                The F-Term thesaurus is now available in CA/CAplus
NEWS 10 JUN 02
                The first reclassification of IPC codes now complete in
                INPADOC
NEWS 11 JUN 26
                TULSA/TULSA2 reloaded and enhanced with new search and
                and display fields
                Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12 JUN 28
NEWS 13 JUl 11 CHEMSAFE reloaded and enhanced
NEWS 14 JUl 14 FSTA enhanced with Japanese patents
NEWS 15 JUl 19 Coverage of Research Disclosure reinstated in DWPI
NEWS 16 AUG 09 INSPEC enhanced with 1898-1968 archive
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NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

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NEWS IPC8 For general information regarding STN implementation of IPC 8
NEWS X25 X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 06:01:18 ON 25 AUG 2006

=> file reg COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 0.63 0.63

FILE 'REGISTRY' ENTERED AT 06:03:09 ON 25 AUG 2006

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STRUCTURE FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4 DICTIONARY FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

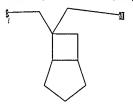
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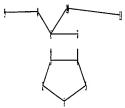
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>

Uploading C:\Documents and Settings\mgraffeo\My Documents\Critical Data\10726878\cmp 1.str





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ring nodes :
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chain bonds :
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exact bonds :
6-8 6-10 10-11

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS
11:CLASS

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100.0% PROCESSED 108 ITERATIONS SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1537 TO 2783
PROJECTED ANSWERS: 1 TO 80

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=> s 12 full

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FULL SCREEN SEARCH COMPLETED - 2148 TO ITERATE

100.0% PROCESSED 2148 ITERATIONS 9 ANSWERS

SEARCH TIME: 00.00.01

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=> d 1-9

L3 ANSWER 1 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN

RN 709046-36-6 REGISTRY

ED Entered STN: 14 Jul 2004

CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)- (9CI) (CA INDEX

NAME)
FS 3D CONCORD

MF C10 H17 N O2

SR CA

LC STN Files: CA, CAPLUS, USPATZ, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L3 ANSWER 2 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN

RN 473924-35-5 REGISTRY

ED Entered STN: 19 Nov 2002

CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, (1S,5S,6R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

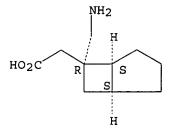
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CI COM

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry. Rotation (+).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

6 REFERENCES IN FILE CA (1907 TO DATE) 6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN

RN 473924-33-3 REGISTRY

ED Entered STN: 19 Nov 2002

CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, (1R,5R,6S)- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN [(1R,5R,6S)-6-(Aminomethyl)bicyclo[3.2.0]hept-6-yl]acetic acid

FS STEREOSEARCH

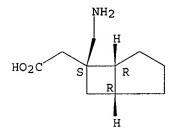
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CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry. Rotation (-).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

22 REFERENCES IN FILE CA (1907 TO DATE)
22 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 4 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN

RN 473829-56-0 REGISTRY

ED Entered STN: 18 Nov 2002

CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, (1R,5R,6R)-rel-(9CI) (CA INDEX NAME)

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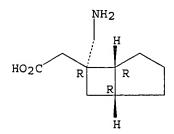
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SR CA

LC STN Files: CA, CAPLUS, USPATZ, USPATFULL

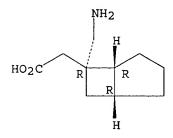
Relative stereochemistry.



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- RN 473829-38-8 REGISTRY
- ED Entered STN: 18 Nov 2002
- CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, (1R,5R,6R)- (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C10 H17 N O2
- SR CA
- LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

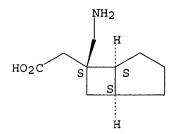
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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- 5 REFERENCES IN FILE CAPLUS (1907 TO DATE)
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- RN 473829-37-7 REGISTRY
- ED Entered STN: 18 Nov 2002
- CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, (1S,5S,6S)- (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C10 H17 N O2
- SR CA
- LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 5 REFERENCES IN FILE CA (1907 TO DATE)
- 5 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L3 ANSWER 7 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN
- RN 473829-34-4 REGISTRY
- ED Entered STN: 18 Nov 2002
- CN Bicyclo[3.2.0] heptane-6-acetic acid, 6-(aminomethyl)-, hydrochloride,

(1R,5R,6R)-rel- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

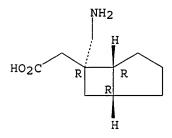
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SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

CRN (473829-56-0)

Relative stereochemistry.



● HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 8 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN

RN 473829-33-3 REGISTRY

ED Entered STN: 18 Nov 2002

CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, hydrochloride, (1S,5S,6R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

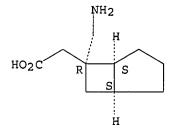
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SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

CRN (473924-35-5)

Absolute stereochemistry. Rotation (+).



HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

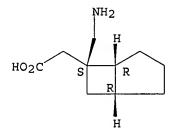
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Absolute stereochemistry. Rotation (-).



● HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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FULL ESTIMATED COST
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=> s 14 and (erectile or ejaculation)
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L5
             1 L4 AND (ERECTILE OR EJACULATION)
=> d bib abs
     ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
L5
     2004:531342 CAPLUS
ΑN
DN
     141:88858
TT
     A preparation of aminocarboxylic acid derivatives as alpha-2-delta
     ligands, useful for the treatment of sexual dysfunction
     Taylor, Charles Price, Jr; Thorpe, Andrew John; Van Der Graaf, Pieter
IN
     Hadewijn; Wayman, Christopher Peter; Wustrow, David Juergen
PA
     Warner-Lambert Company LLC, USA
SO
     PCT Int. Appl., 39 pp.
     CODEN: PIXXD2
DT
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LA
     English
FAN.CNT 9
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OS
GΙ
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R8
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II

Ι

The invention relates to a preparation of aminocarboxylic acid derivs., e.g. I [wherein: R1, R2, R3, R4, R5, R6, R7, and R8 are independently selected from H or C1-6alkyl, or R8 and R6 or R6 and R4 are taken together to form C3-7 cycloalkyl ring, etc.; n = 0-2; X is a carboxylic acid or carboxylic acid bioisostere], as alpha-2-delta ligands, useful for the treatment of premature ejaculation. For instance, delayed ejaculation in the presence of alpha-2-delta ligand II and effect of compound II on copulatory behavior in rapid ejaculating rats were demonstrated. Compound II increased ejaculation latency by 58% in rapidly ejaculating conscious rats.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 7.56 192.67 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION -0.75 -0.75 CA SUBSCRIBER PRICE

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Aug 18, 2006 (20060818/UP).

=> s 14

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correspond to structures built by the STRUCTURE command or to screen
sets. These must be searched in a substance file such as the REGISTRY
file. In some files you may use a Registry Number answer set from a
structure search as a search term or profile in some bibliographic
file containing Registry Numbers, e.g. the CA file. For an
explanation, enter "HELP CROSSOVER" at an arrow prompt (=>).

=> FIL CAPLUS COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 0.42 193.09 FULL ESTIMATED COST SINCE FILE TOTAL DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) ENTRY SESSION -0.75 0.00 CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 06:09:39 ON 25 AUG 2006
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FILE COVERS 1907 - 25 Aug 2006 VOL 145 ISS 9 FILE LAST UPDATED: 23 Aug 2006 (20060823/ED)

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=> DIS L4 1 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:1170963 CAPLUS

DOCUMENT NUMBER:

143:440755

TITLE:

Combinations comprising α -2- δ ligands and

NMDA receptor antagonists

INVENTOR(S):

Hizue, Masanori; Imai, Aki; Toide, Katsuo

PATENT ASSIGNEE(S):

Pfizer Japan, Inc., Japan; Pfizer Inc.

SOURCE:

PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2005102390	A2 2005110	WO 2005-IB988	20050411
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NI, NO, NZ,	OM, PG, PH, PL	, PT, RO, RU, SC, SD, SE,	SG, SK, SL,
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RO, SE, SI,	SK, TR, BF, BJ	, CF, CG, CI, CM, GA, GN,	GQ, GW, ML,
MR, NE, SN,	TD, TG		

PRIORITY APPLN. INFO.:

US 2004-564374P P 20040422

ABSTRACT:

The invention relates to a synergistic combination of an $\alpha\text{-}2\text{-}\delta$ ligand and an NMDA receptor antagonist (preferably an NR2B antagonist) or pharmaceutically-acceptable salts, esters or pharmaceutical compns. and their use in the treatment of pain, particularly neuropathic pain, and disorders of the central nervous system. Synthetic examples describe the preparation of $\alpha\text{-}2\text{-}\delta$ ligands, e.g., (3R,4R,5R)-3-amino-4,5-dimethylheptanoic acid, useful in the combinations of the invention. The combination of 3-methylgabapentin as $\alpha\text{-}2\text{-}\delta$ ligand and (-)-(R)-6-[2-[4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]-1-hydroxyethyl]-3,4-dihydro-2(1H)-quinolinone as NR2B antagonist produced synergy in ability to relieve neuropathic pain.

=> DIS L4 2 IBIB IABS
THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1170698 CAPLUS

DOCUMENT NUMBER: 143:446634

TITLE: Combinations comprising EP4-receptor antagonists and

 $\alpha 2\delta$ ligands for treating pain

INVENTOR(S): Audoly, Laurent Pascal
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 267 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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		NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,
		SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,
		ZM,	zw														
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	ΤZ,	ŪĠ,	ZM,	ZW,	AM,
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		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
		MR,	NE,	SN,	TD,	TG									_		

PRIORITY APPLN. INFO.:

US 2004-563863P P 20040420

ABSTRACT:

The present invention relates to a combination of an EP4-receptor antagonist (e.g. 4-[[[5-fluoro-2-(4-fluorophenoxy)pyridin-3-yl]carbonyl]amino]methyl]benz oic acid) and an $\alpha2\delta$ ligand (e.g. pregabalin), and pharmaceutically acceptable salts thereof, pharmaceutical compns. thereof and their use in the treatment of pain, particularly inflammatory, neuropathic, visceral and nociceptive pain. Although neither the compds. nor the methods of preparation are claimed, many example prepns. (many of which are reproduced from previously published patents) are included. 4-[(1S)-1-[[[5-chloro-2-(3-fluorophenoxy)pyridin-3-yl]carbonyl]amino]ethyl]benzoic acid and pregabalin were tested for effectiveness against carrageenan-induced mech. hyperalgesia and the combination was significantly more effective than either substance alone.

=> DIS L4 3 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1075617 CAPLUS

DOCUMENT NUMBER: 143:367000

TITLE: Preparation of atypical antipsychotics for

combinations with α -2- δ ligands

INVENTOR(S): Field, Mark John; Williams, Richard Griffith

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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                        20051006 WO 2005-IB510
WO 2005092318
                  A1
                                                          20050224
   W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
       CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
       GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
       LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
       NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
       SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
   RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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       RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
       MR, NE, SN, TD, TG
                                    GB 2004-5200
                                                     A 20040308
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PRIORITY APPLN. INFO.:

US 2004-560416P P 20040407

ABSTRACT:

The instant invention relates to a combination, particularly a synergistic combination, of an α -2- δ ligand and an atypical antipsychotic, and pharmaceutically acceptable salts thereof, pharmaceutical compns. thereof and their use in the treatment of pain, particularly neuropathic pain. (3R,4R,5R)-3-amino-4,5-dimethylheptanoic acid, an atypical antipsychotic, was prepared via a series of reactions starting with (S)-3-[(E)-2-methylpent-2-enoyl]-4-phenyloxazolidin-2-one. Example α -2- δ ligands include gabapentin.

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 7 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 4 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) / N:Y

ANSWER 4 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

2005:493505 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 143:32337

TITLE: Calcium carbonate for stabilizing solid pharmaceutical

compositions of amino acids

INVENTOR(S): Razzano, Elena

Pfizer Limited, UK; Pfizer Inc. PATENT ASSIGNEE(S):

PCT Int. Appl., 41 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATE	ENT :	NO.			KIN	D	DATE			APPL:	ICAT:	ION I	NO.		D	ATE	
WO 3	2005	0513	 81		A1	-	2005	 nana	1	 ₩Ω 21	104 -	 TB37	 43		21	0041	112
WO 2	W:				AM,					-	-	-					
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		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LU,	MC,	NL,	PL,	PT,	RO,
		SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,
		ΝE,	SN,	TD,	TG												
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PRIORITY APPLN. INFO.:

GB 2003-27389 A 20031125 US 2004-535845P P 20040112

OTHER SOURCE(S): MARPAT 143:32337

ABSTRACT:

The present invention relates to the use of calcium carbonate as a stabilizing agent in solid pharmaceutical compns. comprising an amino acid as the pharmaceutically active agent, to the stabilized pharmaceutical compns. resulting therefrom and processes for their preparation. Thus, tablets were prepared containing (+)-(2S)-5-amino-2-[(1-n-propyl-1H-imidazol-4-yl)methyl]pentanoic acid (active component) 31.13 mg, microcryst. cellulose 32.31 mg, calcium carbonate 32.31 mg, croscarmellose sodium 3.00 mg, and magnesium stearate 1.25 mg. Tablets stored at 40° and 75% relative humidity for 12 wk showed the presence of 98.9% of the active component.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 5 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:472159 CAPLUS

DOCUMENT NUMBER: 143:26627

TITLE: Preparation of 5,7-diaminopyrazolo[4,3-d]pyrimidines

with phosphodiesterase-5 (PDE5) inhibiting activity
INVENTOR(S): Bell, Andrew Simon; Brown, David Graham; Dack, Kevin

Neil; Fox, David Nathan Abraham; Marsh, Ian Roger;

Morrell, Andrew Ian; Palmer, Michael John; Winslow,

Carol Ann

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 282 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	TENT										ICAT					ATE	
WO	2005	0496	16		A1		2005	0602	1							0041	112
WO	2005																
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,
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		•	IS,														
NL	1027	568					2005	0526		NL 2	004-	1027	568		2	0041	123
	1027				C2		2005			•							
US	2005	2455	44		A1		2005	1103	1	US 2	004-	9971	91		2	0041	124
PRIORIT	PRIORITY APPLN. INFO.:								(GB 2	003-	2731	9	i	A 2	0031	124
									•	US 2	004-	5357	97P		P 2	0040	112
									1	WO 2	004-	IB37	47	1	W 2	0041	112

OTHER SOURCE(S): MARPAT 143:26627

GRAPHIC IMAGE:

$$NR^{1}R^{2}$$
 $NR^{1}R^{2}$
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 $NR^{3}R^{4}$

ABSTRACT:

Title compds. [I; R1 = (substituted) cyclic group; R2 = H, alkyl; R3, R4 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R5 = YCO2R15, YR16; R6 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, etc.; Y = bond, CH2OCH2, alkylene, cycloalkylene; R15 = H, (substituted) alkyl; R16 = tetrazolyl, trifluoromethyltriazolyl, methylsulfonyltriazolyl, etc.; dotted lines = double bonds to form an aromatic ring], were prepared Thus, title compound (II) (preparation

given) inhibited PDE-5 with IC50 = 0.075 nM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 6 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:259678 CAPLUS

DOCUMENT NUMBER: 142:341889

TITLE: Pharmaceuticals containing combinations of an

acetylcholine esterase inhibitor and α -2- δ

receptor ligands

INVENTOR(S): Field, Mark John; Williams, Richard Griffith

PATENT ASSIGNEE(S): UK

SOURCE: U.S. Pat. Appl. Publ., 25 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT	NO.			KIN	D :	DATE			APPL:	ICAT	ION 1	NO.		D	ATE	
US 2005	06517	76		A1	-	2005	0324	1	US 2	004-:	9364	16		2	00409	908
CA 2539	377			AA		2005	0331	1	CA 2	004-	2539	377			0040	
WO 2005	02797	75		A1		2005	0331	1	WO 2	004-	IB29	81		2	00409	908
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	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
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	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
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	ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,
	SN,	TD,	TG													

EP 1667722 A1 20060614 EP 2004-769370 20040908
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
PRIORITY APPLN. INFO.: GB 2003-22140 A 20030922
WO 2004-IB2981 W 20040908

ABSTRACT:

The instant invention relates to a combination of α -2- δ ligand and an AChE inhibitor for use in therapy, particularly in the treatment of pain, particularly neuropathic pain. Particularly preferred α -2- δ ligands are gabapentin and pregabalin. Particularly preferred ACHE inhibitors are donepezil (Aricept), tacrine (Cognex), rivastigmine (Exelon), physostigmine (Synapton), galantamine (Reminyl), metrifonate (Promem), neostigmine (Prostigmin) and icopezil. Thus pessary compns. contained the above ingredient 250, anhydrous dextrose 380, potato starch 363, and Mg stearate 7 mg. The preparation

of some of the compds. is given.

=> DIS L4 7 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:238701 CAPLUS

DOCUMENT NUMBER:

142:316826

TITLE:

A preparation of combinations comprising alpha-2-delta

ligands and dual serotonin-noradrenaline reuptake

inhibitors, useful for treatment of pain

INVENTOR(S):

Dooley, David James; Field, Mark John; Williams,

Richard Griffith

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 23 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.		KINI)	DATE			APPL:	ICAT	ION 1	. OI		D	ATE	
US 2005	059715		A1		2005	0317	1	US 2	004-	9358:	24		2	0040	908
AU 2004	271800		A1		2005	0324		AU 2	004-	2718	00		20	0040	906
CA 2537	402		AA		2005	0324	1	CA 2	004-	2537	402		20	0040	906
WO 2005	025675		A1		2005	0324	1	WO 2	004-	IB29	43		20	0040	906
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	GE, G	H, GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
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	NO, N	z, om,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
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	SN, T	D, TG	•							•				•	
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PRIORITY APP	-		•		•	•		US 2	-				P 20	0030	912
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GRAPHIC IMAGE:

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ABSTRACT:

The invention relates to a combination, particularly a synergistic combination, of an alpha-2-delta ligand and a dual serotonin-noradrenaline reuptake inhibitor (DSNRI) or one or both of a selective serotonin reuptake inhibitor (SSRI) and a selective noradrenaline reuptake inhibitor (SNRI), and pharmaceutically acceptable salts thereof, pharmaceutical compns. thereof and their use in the treatment of pain, particularly neuropathic pain (no biol. data). For instance, 3-amino-5-methyloctanoic acid hydrochloride (I•HCl) was prepared from (S)-citronellyl bromide in eight steps.

=> DIS L4 8 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:162040 CAPLUS

DOCUMENT NUMBER: 142:233358

TITLE: Pharmaceutical composition using a nicotinic receptor

partial agonist-α28 ligand combination for

the treatment of obesity or to facilitate or promote

weight loss

INVENTOR(S): Coe, Jotham W.; O'Neill, Brian T.; Sands, Steven B.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 19 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.			
US 2005043406	A1 20050224	US 2004-870208	20040617
CA 2534271	AA 20050303	CA 2004-2534271	20040809
WO 2005018622	A1 20050303	WO 2004-IB2604	20040809
WO 2005018622	C1 20050428		
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BW, B	BY, BZ, CA, CH,
CN, CO, CR,	CU, CZ, DE, DK,	DM, DZ, EC, EE, EG, E	ES, FI, GB, GD,
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG, K	KP, KR, KZ, LC,
LK, LR, LS,	LT, LU, LV, MA,	MD, MG, MK, MN, MW, M	MX, MZ, NA, NI,
NO, NZ, OM,	PG, PH, PL, PT,	RO, RU, SC, SD, SE, S	GG, SK, SL, SY,
TJ, TM, TN,	TR, TT, TZ, UA,	UG, US, UZ, VC, VN, Y	YU, ZA, ZM, ZW
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EE, ES, FI,	FR, GB, GR, HU,	IE, IT, LU, MC, NL, F	PL, PT, RO, SE,
SI, SK, TR,	BF, BJ, CF, CG,	CI, CM, GA, GN, GQ, G	GW, ML, MR, NE,
SN, TD, TG			
EP 1658059	A1 20060524	EP 2004-744239	20040809
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, N	NL, SE, MC, PT,
IE, SI, FI,	RO, CY, TR, BG,	CZ, EE, HU, PL, SK	
PRIORITY APPLN. INFO.:		US 2003-497353P	P 20030822

ABSTRACT:

Pharmaceutical compns. are disclosed for the treatment of obesity, an overweight condition and compulsive overeating. The pharmaceutical compns. are comprised of a therapeutically effective combination of a nicotinic receptor partial agonist and an $\alpha2\delta$ ligand and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.

=> DIS L4 9 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:162035 CAPLUS

DOCUMENT NUMBER: 142:233377

TITLE: Pharmaceutical composition and method using a

combination of an opioid receptor antagonist and an

 $\alpha28$ ligand for the prevention and treatment of addiction in a mammal

INVENTOR(S): Coe, Jotham Wadsworth; Iredale, Philip A.; McHardy,

Stanton Furst; McLean, Stafford

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 15 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT	NO.		-	KIN)	DATE		2	APPL	ICAT	ION 1	NO.		D	ATE	
US	2005						2005				004-					0040	
	2535										004-					0040	
WO	2005	0186	70		Al		2005	0303	1	WO 2	004-	IB26	02		20	0040	809
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ABSTRACT:

Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine dependence or addiction, tobacco dependence or addiction, reduction of alc. withdrawal symptoms or aiding in the cessation or lessening of alc. use or substance abuse or other behavioral dependencies including gambling. The pharmaceutical compns. are comprised of a therapeutically effective combination of an opioid receptor antagonist and an $\alpha2\delta$ ligand and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER .10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:160850 CAPLUS

DOCUMENT NUMBER: 142:233374

TITLE: Pharmaceutical composition using a combination of a

nicotinic receptor partial agonist and an

α28 ligand for the prevention and treatment of addiction in a mammal Coe, Jotham W.; Sands, Steven B.

INVENTOR(S): Coe, Jotham W.; Sa PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT I	NO.			KINI)	DATE			APPL	ICAT:	ION I	NO.		DA	ATE	
						-											
US	2005	04340	7		A1		2005	0224	1	US 2	004-	8796	16		20	040	529
CA	2535	811			AA		2005	0303		CA 2	004-2	2535	811		20	040	309
WO	2005	01862	21		A1		2005	0303	1	WO 2	004-3	IB26	03		20	00408	309
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		SN,	TD,	TG	•	·	•	•			-						
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PRIORIT	Y APP	•	,	•	• ,	- '		-,			003-]	P 20	0030	322
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ABSTRACT:

Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine dependence or addiction, alc. dependence or addiction, reduction of alc. withdrawal symptoms or aiding in the cessation or lessening of tobacco use or substance abuse or other behavioral dependencies. The pharmaceutical compns. are comprised of a therapeutically effective combination of a nicotinic receptor partial agonist and an $\alpha 2\delta$ ligand and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.

=> DIS L4 11 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:17019 CAPLUS

DOCUMENT NUMBER: 142:107448

TITLE: Combination of an allosteric inhibitor of matrix

metalloproteinase-13 and a ligand to an alpha-2-delta

receptor

INVENTOR(S): Roark, William Howard

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA SOURCE: U.S. Pat. Appl. Publ., 44 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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2005	0025	85		A1		2005	0113	1	WO 2	004-	IB20	75		2	0040	621
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	SN,	TD,	TG													
EP 1680125						2006	0719	1	EP 2	004-	7370	84		2	0040	621
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INFO:: US 20	2005004177 A1 20050106 US 2004- 2005002585 A1 20050113 WO 2004- W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, SN, TD, TG 1680125 A1 20060719 EP 2004- R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, APPLN. INFO.: US 2003-	2005004177 A1 20050106 US 2004-8838 2005002585 A1 20050113 WO 2004-IB20 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, SN, TD, TG 1680125 A1 20060719 EP 2004-7370 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, CAPPLN. INFO.: US 2003-4845	2005004177 A1 20050106 US 2004-883899 2005002585 A1 20050113 WO 2004-IB2075 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, SN, TD, TG 1680125 A1 20060719 EP 2004-737084 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK (APPLN. INFO.: US 2003-484577P)	2005004177 A1 20050106 US 2004-883899 2005002585 A1 20050113 WO 2004-IB2075 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, SN, TD, TG 1680125 A1 20060719 EP 2004-737084 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK APPLN. INFO.:	2005004177 A1 20050106 US 2004-883899 2 2005002585 A1 20050113 WO 2004-IB2075 2 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, SN, TD, TG 1680125 A1 20060719 EP 2004-737084 2 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK	2005004177 A1 20050106 US 2004-883899 20040 2005002585 A1 20050113 WO 2004-IB2075 20040 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, SN, TD, TG 1680125 A1 20060719 EP 2004-737084 20040 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

OTHER SOURCE(S): MARPAT 142:107448

ABSTRACT:

This invention relates to a combination of an allosteric inhibitor of matrix metalloproteinase-13 (MMP-13), or a pharmaceutically acceptable salt thereof, and a ligand to an alpha-2-delta receptor, or a pharmaceutically acceptable salt thereof, a pharmaceutical composition comprising the combination, and a method of using the combination to treat a disease or disorder in a mammal responsive to treatment in one aspect by an allosteric inhibitor of MMP-13 and in the same or a different aspect by a ligand to an alpha-2-delta receptor, such as cartilage damage and joint diseases. Preparation of 4-[[3-[2-(4-methoxybenzyl)-2H-tetrazol-5-yl]benzoylamino]methyl]benzoic acid as the allosteric inhibitor of MMP-13 is exemplified.

=> DIS L4 12 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:965255 CAPLUS

DOCUMENT NUMBER: 141:410950

TITLE: Preparation of 5,7-diaminopyrazolo[4,3-d]pyrimidines

as selective PDE5 inhibitors useful in the treatment

of hypertension

INVENTOR(S): Bell, Andrew Simon; Brown, David Graham; Fox, David

Nathan Abraham; Marsh, Ian Roger; Morrell, Andrew Ian;

Palmer, Michael John; Winslow, Carol Ann

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 279 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096810	A1	20041111	WO 2004-IB1433	20040422
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PRIORITY APPLN. INFO.:
                                          GB 2003-9780
                                          GB 2003-27748
                                                            A 20031128
                                          US 2003-476678P
                                                            P 20030606
                                          US 2004-538147P
                                                           P 20040120
                                          WO 2004-IB1433 A 20040422
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OTHER SOURCE(S):

MARPAT 141:410950

GRAPHIC IMAGE:

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [wherein R1 = (un) substituted cycloalkyl, cycloalkenyl, (un) substituted pyridin-2-yl, (un) fused Ph, etc.; R2 = H, alkyl; R3, R4 = independently (un) substituted alkyl, alkenyl, cycloalkyl, etc.; or NR3R4 = piperazin-1-yl, monocyclic, saturated polycyclic; R5 = (un) substituted halo/alkyl, alkenyl, alkynyl, cycloalkyl; R6 = H, (un)substituted alkyl, haloalkyl, alkenyl, alkynyl, etc.] were prepared as selective PDE5 inhibitors. For example, II-2HCl was prepared from (4-Methylpyridin-2-yl)amine, dichloride III (general preparation given), and tert-Bu piperazine-1-carboxylate. I gave IC50 values < 10,000 nM in an in vitro assay for PDE5 inhibition. Thus, I are used for treating hypertension.

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 13 IBIB TABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N:Y

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

2004:531356 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 141:65106

Calcium channel α -2- δ subunit ligands to TITLE:

treat chronic obstructive pulmonary disease (COCD),

chronic cough, and other diseases

Bertrand, Claude Philippe; Chovet, Maria Emilia INVENTOR(S):

Pereira Chicau; Geppetti, Pierangelo; Taylor, Charles

Price, Jr.; Thorpe, Andrew John; Wustrow, David

Juergen

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

	PA.	rent 1	NO.													D	ATE		
	WO	2004	 0545	77		A1		2004				003-				2	0031	203	
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OTHER SOURCE(S): MARPAT 141:65106

ABSTRACT:

The invention discloses the use of an calcium channel α -2- δ subunit ligand in the treatment of chronic obstructive pulmonary disease (COPD) and diseases associated with a diagnosis of COPD, and particularly to the treatment of chronic cough, which may be unrelated to COPD. Compound preparation is included.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 14 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:531342 CAPLUS

DOCUMENT NUMBER: 141:88858

TITLE: A preparation of aminocarboxylic acid derivatives as

alpha-2-delta ligands, useful for the treatment of

sexual dysfunction

INVENTOR(S): Taylor, Charles Price, Jr; Thorpe, Andrew John; Van

Der Graaf, Pieter Hadewijn; Wayman, Christopher Peter;

Wustrow, David Juergen

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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                         A1
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                                                                   20031203
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PRIORITY APPLN. INFO.:
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                                                                   20030312
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                                                               W 20031203
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OTHER SOURCE(S): MARPAT 141:88858

GRAPHIC IMAGE:

ABSTRACT:

The invention relates to a preparation of aminocarboxylic acid derivs., e.g. I [wherein: R1, R2, R3, R4, R5, R6, R7, and R8 are independently selected from H or C1-6alkyl, or R8 and R6 or R6 and R4 are taken together to form C3-7 cycloalkyl ring, etc.; n = 0-2; X is a carboxylic acid or carboxylic acid bioisostere], as alpha-2-delta ligands, useful for the treatment of premature ejaculation. For instance, delayed ejaculation in the presence of alpha-2-delta ligand II and effect of compound II on copulatory behavior in rapid ejaculating rats were demonstrated. Compound II increased ejaculation latency by 58% in rapidly ejaculating conscious rats.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 15 IBIB IABS
THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:531340 CAPLUS

DOCUMENT NUMBER: 141:89004

TITLE: Use of alpha-2-delta ligands to treat lower urinary

tract symptoms associated with overactive bladder or benign prostatic hyperplasia, and the preparation of

4-substituted pyrrolidine-2-carboxylic acid

derivatives and other compounds as ligands for such

use

INVENTOR(S): Taylor, Charles Price, Jr.; Thorpe, Andrew John;

Westbrook, Simon Lempriere; Wustrow, David Juergen

PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

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	US	2004	1809	58		Al		2004	0916	1	JS 2	003-	7326	13		2	0031	210	
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OTHER SOURCE(S): MARPAT 141:89004

GRAPHIC IMAGE:

ABSTRACT:

Disclosed is the use of an alpha-2-delta ligand, or a pharmaceutically

acceptable derivative thereof, for the manufacture of a medicament for the treatment of

lower urinary tract symptoms (LUTS), other than urinary incontinence, which are associated with overactive bladder (OAB) and/or benign prostatic hyperplasia (BPH). Such use of approx. 35 specific compds. and/or their derivs. is claimed. For instance, (2S,4R)-4-hydroxypyrrolidine-1,2-dicarboxylic acid 1-tert-Bu 2-Me ester was etherified with 3-chlorophenol under Mitsunobu conditions (86%), followed by saponification of the Me ester with LiOH in aqueous

(98%), and hydrolysis of the tert-Bu ester with HCl in dioxane/THF (86.7%), to qive acid I, a use-claimed ligand, as the HCl salt, on a 7-kg scale. In tests of gabapentin, a well-known alpha-2-delta ligand, on the micturition reflex of anesthetized rats, a significant, dose-dependent increase in interval between voiding episodes was observed relative to control animals, with a reduction in voids per h from approx. 5 to <1.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 16 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N:Y

ANSWER 16 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:513533 CAPLUS

DOCUMENT NUMBER:

141:47364

TITLE: Prodrugs of fused GABA analogs, pharmaceutical

compositions and uses thereof

INVENTOR(S):

SOURCE:

Gallop, Mark A. Xenoport, Inc., USA PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

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OTHER SOURCE(S): MARPAT 141:47364

ABSTRACT:

The present invention relates generally to prodrugs of fused GABA analogs, pharmaceutical compns. of prodrugs of fused GABA analogs, methods of making prodrugs of fused GABA analogs and methods of using prodrugs of fused GABA analogs and pharmaceutical compns. of prodrugs of fused GABA analogs to treat or prevent various diseases. Claimed compds. include $(1\alpha, 3\alpha, 5\alpha)$ (3-aminomethylbicyclo[3.2.0]hept-3-yl)acetic acid

=> DIS L4 17 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:308400 CAPLUS

DOCUMENT NUMBER:

140:287120

TITLE:

Preparation of cyclic nitromethyl acetic acid

derivatives

INVENTOR(S):

Derrick, Andrew Michael

PATENT ASSIGNEE(S):

Pfizer Limited, UK; Pfizer Inc.

SOURCE:

PCT Int. Appl., 35 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PA	TENT	NO.			KIN	D	DATE								D.	ATE	
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OTHER SOURCE(S):

MARPAT 140:287120

ABSTRACT:

The invention relates cyclic nitromethyl acetic acid derivs. for use as intermediates in the preparation of cyclic and bicyclic amino acids. Salts of (1R,5R,6S)-[6-(nitromethyl)bicyclo[3.2.0]hept-6-yl]acetic acid (I) or the racemate are claimed. Thus, condensation of (1R,5R)-bicyclo[3.2.0]heptan-6-one with tri-Et phosphonoacetate, followed by reaction with nitromethane and saponification, afforded nitro acid I, which was converted to the cyclohexylamine salt.

Reduction of the nitro group by hydrogenation over Pt/C afforded (1R, 5R, 6S) - [6 - (aminomethyl) bicyclo[3.2.0] hept-6-yl] acetic acid.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 18 IBIB IABS
THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) / N:Y

L4 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:308394 CAPLUS

DOCUMENT NUMBER: 140:287119

TITLE: Preparation of bicyclo[3.2.0]hept-6-ylideneacetate

intermediates in the synthesis of therapeutic fused

bicyclic amino acids

INVENTOR(S): Gladwell, Iain Robert; Pettman, Alan John

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

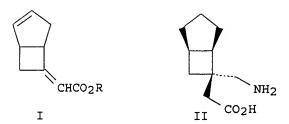
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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OTHER SOURCE(S): MARPAT 140:287119

GRAPHIC IMAGE:



ABSTRACT:

The invention presents compds. I (R is H or a suitable carboxylic acid-protecting group) or stereoisomers and their ring-saturated derivs., which are intermediates in the preparation of therapeutic fused bicyclic amino acids. The synthesis comprises reaction of bicyclo[3.2.0]hept-2-en-6-one or bicyclo[3.2.0]heptan-6-one with a phosphonoacetate derivative. In the examples, (±)-Et bicyclo[3.2.0]hept-6-ylideneacetate was prepared from bicyclo[3.2.0]heptan-6-one and tri-Et phosphonoacetate and underwent subsequent

enzymic hydrolysis, esterification, nitromethylation, saponification, and hydrogenation

to afford bicyclic amino acid II.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 19 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:162589 CAPLUS

DOCUMENT NUMBER: 140:193110

TITLE: Fused bicyclic or tricyclic amino acids, their

preparation, and their use in the treatment of

fibromyalgia

INVENTOR(S): Blakemore, David Clive; Bryans., Kistom. Stephen;

Williams, Sophie Caroline

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.; Bryans. Kistom.

Stephen

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PA	ATENT	NO.					DATE		2	APPL	ICAT:	ION I	NO .		D	ATE	
	2004	0162			7.7		2004	0226			003-				2	0030	906
										WO Z	003-	1033.	40		2	0030	300
WC	2004									חח	Da	חח	DV	D.Z	C 17	CII	CINT
	w:	•		•							BG,						
		•	•	•		•					EE,						
		•	•	•		•	•				KG,						
											MW,						
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
CA	A 2494	811			AA		2004	0226		CA 2	003-	2494	811		2	0030	806
JΑ	J 2003	2504	81		A1		2004	0303		AU 2	003-	2504	81		2	0030	806
EI	1545	491			A1		2005	0629]	EP 2	003-	7879	63		2	0030	806
											IT,						
		•	•	•	•	•	•	•			TR,	-	-			-	•
В	2003										003-						806
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	2004										003-					0030	
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											003-					0030	
										WU 2	003-	TD32	± 0		n 2	0030	000

OTHER SOURCE(S): MARPAT 140:193110

ABSTRACT:

The compds. of the invention are bicyclic or tricyclic amino acids useful in the treatment of fibromyalgia. Pharmaceutical compns. containing one or more of the compds. for use in the treatment of fibromyalgia are also included.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 20 IBIB IABS THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) / N:Y

ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:162588 CAPLUS

DOCUMENT NUMBER:

140:210798

TITLE:

Synergistic combination of an α2δ ligand

and a PDEV inhibitor for use in the treatment of pain

Field, Mark John; Williams, Richard Griffith

INVENTOR(S): PATENT ASSIGNEE(S):

Pfizer Limited, UK; Pfizer Inc.

SOURCE:

PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PA	TENT	ΝΟ.			KIN	D -	DATE			APPL	ICAT	ION I	NO .		D	ATE	
WO	2004	0162	59		A1		2004	0226		WO 2	003-	IB34	76		2	0030	804
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		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	ŪĠ,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw					
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		KG,	KZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	ΝL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG
CA	2495	433			AA		2004	0226		CA 2	003-	2495	433		2	0030	804
AU	2003	2494	76		A1		2004	0303		AU 2	003-	2494	76		2	0030	804
EP	1536	782			A1		2005	0608		EP 2	003-	7879.	57		2	0030	804
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	sĸ	
BR	2003	0134	84		A		2005	0621		BR 2	003-	1348	4		2	0030	804
JP	2006	5021	39		T2		2006	0119	1	JP 2	004-	5287	54		2	0030	804
US	2004	0925	91		A1		2004	0513		US 2	003-	6405	47		2	0030	813
NO	2005	0007	82		A		2005	0408		NO 2	005-	782			2	0050	214
RIORIT	Y APP	LN.	INFO	. :						GB 2	002-	1902	4		A 2	0020	815
										GB 2	002-	2306	7		A 2	0021	004
										US 2	002-	4218	66P		P 2	0021	028
										WO 2	003-	IB34	76		W 2	0030	804
	-																

The invention relates to a combination of an $\alpha 2\delta$ ligand and a PDEV inhibitor for use in therapy, particularly in the curative, prophylactic or palliative treatment of pain, particularly neuropathic pain. Particularly preferred α2δ α2δ α2δ ligands are gabapentin and pregabalin. Particularly preferred PDEV inhibitors are sildenafil, vardenafil and tadalafil. Combinations of gabapentin and sildenafil on CCI-induced allodynia showed synergic effects over those effects with the drugs administered alone. (3S,5R)-3-amino-5-methyloctanoic acid was prepared as an example of an $\alpha 2\delta$ ligand.

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 21 IBIB IABS THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

ANSWER 21 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2003:678656 CAPLUS

DOCUMENT NUMBER: 139:202522

TITLE: Combinations of an alpha-2-delta ligand with a

selective inhibitor of cyclooxygenase-2

INVENTOR(S): Taylor, Charles Price, Jr.

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA

SOURCE: PCT Int. Appl., 135 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT :	NO.			KIN)	DATE		j	APPL	ICAT	ION	NO.		D.	ATE	
WO	2003	 0702:	37		A1	-	2003	0828	1	 WO 2	003-	 IB53	· 4		2	 0030:	212
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		GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,
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		FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,
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CA	2476	438			AA		2003	0828	(CA 2	003-	2476	438		2	0030	212
UA	2003																
EP	1480	639			A1		2004	1201]	EP 2	003-	7424	60		2	0030	212
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BR	2003	0079	06		Α						003-				_		
	1635				Α		2005	0706	(CN 2	003-	8043	56		2	0030	212
	2005						2005	0804		JP 2	003-	5691	93		2	0030	212
	2003										003-					0030	214
ИО	2004	0039	47		Α		2004	0921								0040	
PRIORITY	Y APP	LN.	INFO	.:							002-						
											002-					0020	
									1	WO 2	003-	IB53	4	1	<i>N</i> 2	0030	212

ABSTRACT

The invention relates to a combination, comprising a selective inhibitor of COX-2, or a pharmaceutically acceptable salt thereof, and a ligand for calcium channel $\alpha 2\delta$ subunit, or a pharmaceutically acceptable salt thereof, and valdecoxib. Examples of selective inhibitors of COX-2 include valdecoxib, rofecoxib, and celecoxib. Examples of $\alpha 2\delta$ ligands include gabapentin, pregabalin, (3S,4S)-(1-aminomethyl-3,4-dimethyl-cyclopentyl)-acetic acid, and 3-(1-aminomethyl-cyclohexymethyl)-4H-[1,2,4]oxadiazol-5-one hydrochloride (I). The combinations are useful for treating certain diseases including cartilage damage, inflammation, pain, and arthritis. For example, capsules containing 25 mg each of valdecoxib and I were prepared

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 22 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:832747 CAPLUS

DOCUMENT NUMBER: 137:338131

TITLE: Preparation of fused bicyclic or tricyclic amino acids

INVENTOR(S): Blakemore, David Clive; Bryans, Justin Stephen;

Williams, Sophie Caroline

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	TENT				KIN	D	DATE			API	PLIC	CAT:	ION	NO.		I	ATE	
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							DK,											
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	Ξ, Κ	ίĠ,	KP,	KR,	KZ,	LC,	LK,	LR,
							MD,											
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SF	K, S	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZV	1							
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GB	2374	595			A1		2002	1023		GB	200	1-9	9635			2	0010	419
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EP	1379	494			Al		2004	0114		ΕP	200	2-5	7169	96		2	0020	403
	R:				•		ES,					•	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑI	ı, I	'R						
	2003																	
BR	2002	0089	22		Α		2004	0420		BR	200	2 - 8	3922			2	0020	403
JP	2004	5275	44		T2												0020	403
NZ	5281 1720	51			Α		2005					_				_	0020	
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	6596				B2		2003											
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										WO	200	2-1	IB11	46		W 2	0020	403
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OTHER SOURCE(S): MARPAT 137:338131

ABSTRACT:

Bicyclic or tricyclic amino acids were prepared for use in the treatment of epilepsy, faintness attacks, hypokinesia, cranial disorders, neurodegenerative disorders, depression, anxiety, panic, pain, arthritis, neuropathol. disorders, sleep disorders, visceral pain disorders, and gastrointestinal disorders. Pharmaceutical compns. containing one or more of the compds. are also included. Thus, [(1R,5R,6S)-6-(aminomethyl)bicyclo[3.2.0]hept-6-yl]acetic acid hydrochloride was prepared by treating Me [(1R,5R,6S)-6-(isocyanatomethyl)bicyclo[3.2.0]hept-6-yl]acetate with 6N HCl under reflux for 18 h. The isocyanate was obtained from bicyclo[3.2.0]hept-2-en-6-one by a multistep procedure, which includes reaction of (1RS, 5RS)-bicyclo[3.2.0]heptan-6-one with Et cyanoacetate.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 69.94 263.03 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -16.50 -17.25

FILE 'STNGUIDE' ENTERED AT 06:22:32 ON 25 AUG 2006

USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Aug 18, 2006 (20060818/UP).

=> file reg

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
SESSION
CA SUBSCRIBER PRICE

0.00
-17.25

FILE 'REGISTRY' ENTERED AT 06:29:16 ON 25 AUG 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4 DICTIONARY FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

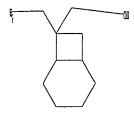
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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Data\10726878\cmp 2.str



chain nodes : 5 6 7 8 ring nodes :

1 2 3 4 9 10 11 12

chain bonds : 3-5 3-7 5-6 7-8

ring bonds :

 $1-3 \quad 1-2 \quad 1-12 \quad 2-4 \quad 2-9 \quad 3-4 \quad 9-10 \quad 10-11 \quad 11-12$

exact/norm bonds :

1-3 1-2 1-12 2-4 2-9 3-4 5-6 9-10 10-11 11-12

exact bonds : 3-5 3-7 7-8

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom

L6 STRUCTURE UPLOADED

=> s 16

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SAMPLE SCREEN SEARCH COMPLETED -108 TO ITERATE

100.0% PROCESSED 108 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

COMPLETE BATCH

PROJECTED ITERATIONS: 1537 TO 2783

PROJECTED ANSWERS: 1 TO 80

L7 1 SEA SSS SAM L6

=> s 16 full

FULL SEARCH INITIATED 06:29:37 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -2148 TO ITERATE

2148 ITERATIONS 100.0% PROCESSED 10 ANSWERS

SEARCH TIME: 00.00.01

гв . 10 SEA SSS FUL L6

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ANSWER 1 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN 1.8

760140-93-0 REGISTRY RN

Entered STN: 10 Oct 2004 ED

CN Bicyclo[4.2.0]octa-1,3,5-triene-7-acetic acid, 7-(aminomethyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C11 H13 N O2

CI COM

SR CA

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 2 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN L8

473829-58-2 REGISTRY RN

Entered STN: 18 Nov 2002 ED

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)-rel-(9CI) (CA INDEX NAME)

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FS STEREOSEARCH
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MF C11 H19 N O2

CI COM

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 3 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN

RN 473829-57-1 REGISTRY

ED Entered STN: 18 Nov 2002

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)-rel-(9CI) (CA INDEX NAME)

FS STEREOSEARCH

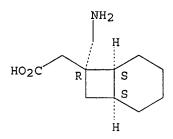
MF C11 H19 N O2

CI COM

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 4 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN

RN 473829-42-4 REGISTRY

ED Entered STN: 18 Nov 2002

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C11 H19 N O2

SR CA

LC STN Files: CA, CAPLUS, USPATZ, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)

5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 5 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN

RN 473829-41-3 REGISTRY

ED Entered STN: 18 Nov 2002

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI) (CA INDEX NAME)

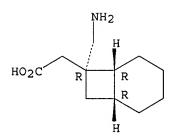
FS STEREOSEARCH

MF C11 H19 N O2

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)

5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 6 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN

RN 473829-40-2 REGISTRY

ED Entered STN: 18 Nov 2002

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C11 H19 N O2

SR CA

LC STN Files: CA, CAPLUS, USPATZ, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE) 5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

5 REFERENCES IN TIES CAPAGE (1907 TO DATE)

L8 ANSWER 7 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN

RN 473829-39-9 REGISTRY

ED Entered STN: 18 Nov 2002

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI) (CA INDEX NAME)

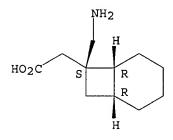
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MF C11 H19 N O2

SR CA

LC STN Files: CA, CAPLUS, USPAT7, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)

5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 8 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN

RN 473829-36-6 REGISTRY

ED Entered STN: 18 Nov 2002

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride, (1R,6R,7R)-rel- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C11 H19 N O2 . C1 H

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

CRN (473829-58-2)

Relative stereochemistry.

HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 9 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN

RN 473829-35-5 REGISTRY

ED Entered STN: 18 Nov 2002

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride, (1R,6R,7S)-rel- (9CI) (CA INDEX NAME)

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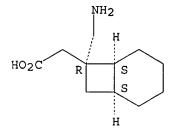
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SR CA

LC STN Files: CA, CAPLUS, USPAT7, USPATFULL

CRN (473829-57-1)

Relative stereochemistry.



HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 10 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN

RN 132205-59-5 REGISTRY

ED Entered STN: 22 Feb 1991

OTHER CA INDEX NAMES:

MF C11 H13 N O2 . Cl H

● HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 185.94 449.63 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -17.25

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FILE COVERS 1907 - 25 Aug 2006 VOL 145 ISS 9 FILE LAST UPDATED: 23 Aug 2006 (20060823/ED)

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http://www.cas.org/infopolicy.html

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L9 6 L8

=> s 19 and (ejeculat? or erectile)

6 EJECULAT? 2587 ERECTILE

L10 0 L9 AND (EJECULAT? OR ERECTILE)

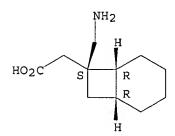
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          2587 ERECTILE
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            1 L9 AND (EJACULAT? OR ERECTILE)
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     2004:531342 CAPLUS
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     141:88858
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     A preparation of aminocarboxylic acid derivatives as alpha-2-delta
     ligands, useful for the treatment of sexual dysfunction
     Taylor, Charles Price, Jr; Thorpe, Andrew John; Van Der Graaf, Pieter
IN
     Hadewijn; Wayman, Christopher Peter; Wustrow, David Juergen
     Warner-Lambert Company LLC, USA
PΑ
     PCT Int. Appl., 39 pp.
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     CODEN: PIXXD2
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             ALL CITATIONS AVAILABLE IN THE RE FORMAT
=> s 19
L12
            6 L8
=> d 1-6 bib abs hitstr
L12 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
AN
    2005:493505 CAPLUS
DN
    143:32337
    Calcium carbonate for stabilizing solid pharmaceutical compositions of
TT
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=> s 19 and (ejaculat? or erectile)

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amino acids
IN
     Razzano, Elena
PΑ
     Pfizer Limited, UK; Pfizer Inc.
     PCT Int. Appl., 41 pp.
SO
     CODEN: PIXXD2
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    English
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PRAI GB 2003-27389
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os
    MARPAT 143:32337
    The present invention relates to the use of calcium carbonate as a
AB
    stabilizing agent in solid pharmaceutical compns. comprising an amino acid
    as the pharmaceutically active agent, to the stabilized pharmaceutical
    compns. resulting therefrom and processes for their preparation. Thus, tablets
    were prepared containing (+)-(2S)-5-amino-2-[(1-n-propyl-1H-imidazol-4-
    yl)methyl]pentanoic acid (active component) 31.13 mg, microcryst.
    cellulose 32.31 mg, calcium carbonate 32.31 mg, croscarmellose sodium 3.00
    mg, and magnesium stearate 1.25 mg. Tablets stored at 40° and 75%
    relative humidity for 12 wk showed the presence of 98.9% of the active
    component.
IT
    473829-39-9 473829-40-2 473829-41-3
    473829-42-4
    RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
        (calcium carbonate stabilization of amino acid-containing solid dosage
       forms)
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RN
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    Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)
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Absolute stereochemistry.

(CA INDEX NAME)

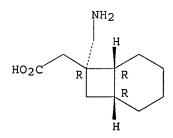


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RN 473829-40-2 CAPLUS
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI)
(CA INDEX NAME)
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RN 473829-41-3 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI) (CA INDEX NAME)

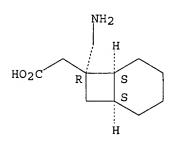
Absolute stereochemistry.



RN 473829-42-4 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:531342 CAPLUS

DN 141:88858

TI A preparation of aminocarboxylic acid derivatives as alpha-2-delta ligands, useful for the treatment of sexual dysfunction

IN Taylor, Charles Price, Jr; Thorpe, Andrew John; Van Der Graaf, Pieter Hadewijn; Wayman, Christopher Peter; Wustrow, David Juergen

PA Warner-Lambert Company LLC, USA

SO PCT Int. Appl., 39 pp. CODEN: PIXXD2

DT Patent

LA English

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     MARPAT 141:88858
OS
GΙ
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The invention relates to a preparation of aminocarboxylic acid derivs., e.g. I AB [wherein: R1, R2, R3, R4, R5, R6, R7, and R8 are independently selected from H or C1-6alkyl, or R8 and R6 or R6 and R4 are taken together to form C3-7 cycloalkyl ring, etc.; n = 0-2; X is a carboxylic acid or carboxylic acid bioisostere], as alpha-2-delta ligands, useful for the treatment of premature ejaculation. For instance, delayed ejaculation in the presence of alpha-2-delta ligand II and effect of compound II on copulatory behavior in rapid ejaculating rats were demonstrated. Compound II increased ejaculation latency by 58% in rapidly ejaculating conscious rats. IT 473829-39-9P 473829-40-2P 473829-41-3P 473829-42-4P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aminocarboxylic acid derivs. as alpha-2-delta ligands, useful for the treatment of sexual dysfunction) RN 473829-39-9 CAPLUS CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)

Absolute stereochemistry.

(CA INDEX NAME)

RN 473829-40-2 CAPLUS
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 473829-41-3 CAPLUS
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 473829-42-4 CAPLUS
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

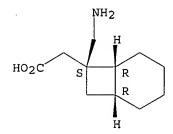
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
L12
AN
    2004:531340 CAPLUS
DN
    141:89004
ΤI
    Use of alpha-2-delta ligands to treat lower urinary tract symptoms
    associated with overactive bladder or benign prostatic hyperplasia, and
     the preparation of 4-substituted pyrrolidine-2-carboxylic acid derivatives
     and other compounds as ligands for such use
IN
    Taylor, Charles Price, Jr.; Thorpe, Andrew John; Westbrook, Simon
    Lempriere; Wustrow, David Juergen
PΑ
    Warner-Lambert Company Llc, USA
SO
    PCT Int. Appl., 59 pp.
    CODEN: PIXXD2
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    English
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                               DATE
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                               20031203
    MARPAT 141:89004
os
GI
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AB Disclosed is the use of an alpha-2-delta ligand, or a pharmaceutically acceptable derivative thereof, for the manufacture of a medicament for the treatment of lower urinary tract symptoms (LUTS), other than urinary incontinence, which are associated with overactive bladder (OAB) and/or benign prostatic hyperplasia (BPH). Such use of approx. 35 specific

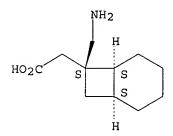
compds. and/or their derivs. is claimed. For instance, (2S,4R)-4-hydroxypyrrolidine-1,2-dicarboxylic acid 1-tert-Bu 2-Me ester was etherified with 3-chlorophenol under Mitsunobu conditions (86%), followed by saponification of the Me ester with LiOH in aqueous THF (98%), and hydrolysis of the tert-Bu ester with HCl in dioxane/THF (86.7%), to give acid I, a use-claimed ligand, as the HCl salt, on a 7-kg scale. In tests of gabapentin, a well-known alpha-2-delta ligand, on the micturition reflex of anesthetized rats, a significant, dose-dependent increase in interval between voiding episodes was observed relative to control animals, with a reduction in voids per h from approx. 5 to <1. 473829-39-9 473829-40-2 473829-41-3 473829-42-4 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (drug use candidate; preparation of alpha-2-delta ligands to treat lower urinary tract symptoms) 473829-39-9 CAPLUS RN CNBicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 473829-40-2 CAPLUS
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 473829-41-3 CAPLUS
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI)
(CA INDEX NAME)

RN473829-42-4 CAPLUS

Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI) CN (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN L12

AN 2004:162589 CAPLUS

140:193110 DN

Fused bicyclic or tricyclic amino acids, their preparation, and their use TIin the treatment of fibromyalgia

Blakemore, David Clive; Bryans., Kistom. Stephen; Williams, Sophie IN Caroline

PΑ Pfizer Limited, UK; Pfizer Inc.; Bryans. Kistom. Stephen

SO PCT Int. Appl., 77 pp. CODEN: PIXXD2

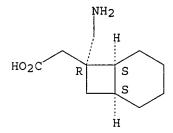
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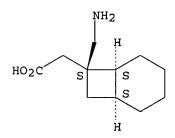
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AB	The compds. of the	inventi	on are bicy	vclic	or tri	cvclic amino a	acids useful				
	AB The compds. of the invention are bicyclic or tricyclic amino acids useful in the treatment of fibromyalgia. Pharmaceutical compns. containing one or										
	more of the compds. for use in the treatment of fibromyalgia are also										
	included.	101 45	C 111 CIIC C.	. ca ciii	-1110 01	ribiomydigia (are arbo				
		36 65									
ΙT	473829-35-5P 473829										
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU										
	(Therapeutic use);	SIOL (B	iological s	study	; PREP	(Preparation)	; USES				
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	(fused bicyclic	or tric	yclic amino	o acid	d prepa	ration and use	e in treatment o	o£			
	fibromyalqia)		•		• •						
RN	473829-35-5 CAPLUS										
CN	Bicyclo[4.2.0] octano	7.200	tic said '	7 / am	nomoth	vil) - hvdrochl	lorido				
CIV	-				I II Ome CI	iyi)-, iiyalociii	ioride,				
	(1R,6R,7S)-rel- (9C)	L) (CA	INDEX NAMI	5)							

Relative stereochemistry.



● HCl

Relative stereochemistry.



● HCl

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IT 473829-39-9 473829-40-2 473829-41-3
473829-42-4 473829-57-1 473829-58-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(fused bicyclic or tricyclic amino acid preparation and use in treatment of fibromyalgia)
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RN 473829-39-9 CAPLUS
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 473829-40-2 CAPLUS
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 473829-41-3 CAPLUS
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 473829-42-4 CAPLUS
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI)
(CA INDEX NAME)

RN 473829-57-1 CAPLUS

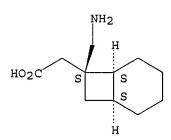
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 473829-58-2 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:832747 CAPLUS

DN 137:338131

TI Preparation of fused bicyclic or tricyclic amino acids

IN Blakemore, David Clive; Bryans, Justin Stephen; Williams, Sophie Caroline

PA Warner-Lambert Company, USA

SO PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

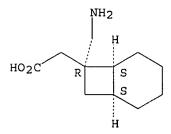
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OS
     MARPAT 137:338131
ΑB
     Bicyclic or tricyclic amino acids were prepared for use in the treatment of
     epilepsy, faintness attacks, hypokinesia, cranial disorders,
     neurodegenerative disorders, depression, anxiety, panic, pain, arthritis,
     neuropathol. disorders, sleep disorders, visceral pain disorders, and
     gastrointestinal disorders. Pharmaceutical compns. containing one or more of
     the compds. are also included. Thus, [(1R,5R,6S)-6-
     (aminomethyl)bicyclo[3.2.0]hept-6-yl]acetic acid hydrochloride was prepared
     by treating Me [(1R,5R,6S)-6-(isocyanatomethyl)bicyclo[3.2.0]hept-6-
     yl]acetate with 6N HCl under reflux for 18 h. The isocyanate was obtained
     from bicyclo[3.2.0]hept-2-en-6-one by a multistep procedure, which
     includes reaction of (1RS, 5RS)-bicyclo[3.2.0]heptan-6-one with Et
     cyanoacetate.
     473829-35-5P 473829-36-6P 473829-39-9P
IT
     473829-40-2P 473829-41-3P 473829-42-4P
     473829-57-1P 473829-58-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of fused bicyclic or tricyclic amino acids)
RN
     473829-35-5 CAPLUS
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Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride,

Relative stereochemistry.

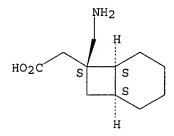
(1R,6R,7S)-rel- (9CI) (CA INDEX NAME)



CN

RN 473829-36-6 CAPLUS
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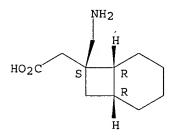
Relative stereochemistry.



● HCl

RN 473829-39-9 CAPLUS
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 473829-40-2 CAPLUS
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 473829-41-3 CAPLUS
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI)
(CA INDEX NAME)

RN 473829-42-4 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 473829-57-1 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 473829-58-2 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L12 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
     1991:184942 CAPLUS
AN
DN
     114:184942
     Synthesis and biochemical evaluation of baclofen analogs locked in the
TТ
     baclofen solid-state conformation
     Mann, Andre; Boulanger, Thierry; Brandau, Barbara; Durant, Francois;
ΑU
     Evrard, Guy; Heaulme, Michel; Desaulles, Eric; Wermuth, Camille Georges
     Dep. Pharmacochim. Mol., Cent. Neurochim., Strasbourg, 67084, Fr.
CS
     Journal of Medicinal Chemistry (1991), 34(4), 1307-13
SO
     CODEN: JMCMAR; ISSN: 0022-2623
DT
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LA
     English
     CASREACT 114:184942
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The synthesis of six close analogs of baclofen [3-(4-chlorophenyl)-4-AB aminobutyric acid] (BAC), a potent GABAB agonist, are reported. The compds. were designed starting from the structural informations contained in the solid state of BAC, regarded as a possible bioactive conformation, in which the p-chlorophenyl ring is perpendicular to the GABA backbone. A similar conformational situation was created by rigidifying the BAC structure by means of methylene, ethylene, or propylene units, or by introducing chlorine atoms into the ortho positions ("ortho effect"). Only compound I showed affinity for the GABAB receptor. Compound II, which was initially considered as representing the optimal mimic of the solid-state conformation of BAC, was surprisingly found inactive. extensive conformational anal. was performed in order to evaluate their flexibility and the overlap of their conformational population with respect to BAC. For this purpose a distance map was generated from three possible pharmacophoric groups: the amino and the carboxylic functions, and the Ph ring. Finally, several explanations are proposed to account for the poor affinities of the prepared compds. such as steric hindrance or flexibility demand of the receptor.

$$H_2N-CH_2$$

OS GI

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FILE 'REGISTRY' ENTERED AT 06:03:09 ON 25 AUG 2006

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L10 0 S L9 AND (EJECULAT? OR ERECTILE)
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L12 6 S L9

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Lб

---Logging off of STN---

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Executing the logoff script...

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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